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A summary of the papers in this month's issue.

Solid-phase synthesis

- A review of the Diels-Alder reaction on solid supports has recently been published (Yli-Kauhaluoma, *Tetrahedron*, 2001, 57(33), 7053-7071).
- The solid-phase synthesis of cyclic peptides has been achieved by the use of an oxidation labile aryl hydrazide linker and exemplified by the preparation of stylostatin 1 (Rosenbaum and Waldmann, *Tetrahedron Lett.*, 2001, *42(33)*, 5677-5680).
- A simple colourimetric test for the detection of polymer-supported tertiary alcohols has been reported (Burkett *et al.*, *Tetrahedron Lett.*, 2001, *42(33)*, 5773-5775).
- 3,7-Disubstituted perhydro-1,4-diazepine-2,5-diones have been synthesised from α-amino acids and β-amino acids on Synphase™ Rink-amide polystyrene crowns (Giovannoni *et al.*, *Tetrahedron Lett.*, 2001, *42(32)*, 5389-5392).
- Substituted pyrrolidines have been prepared on solid-phase by the room temperature 1,3-dipolar cycloaddition of a silver-azomethine ylide with a polymer-supported maleimide (Barrett *et al.*, *Tetrahedron Lett.*, 2001, *42(32)*, 5579-5581).
- A versatile method for the high yielding solid-phase synthesis of substituted arylalkanolamines has been developed using immobilised carbamates (Srinivasan *et al.*, *Tetrahedron Lett.*, 2001, *42(34)*, 5993-5995).
- The 1-methyl 1'-cyclopropyl group, attached via its trichloroacetimide derivative, has been used as an acid-labile *O*-protecting group for solid-phase oligosaccharide synthesis (Eichler *et al.*, *Tetrahedron,* 2001, *57(31)*, 6679-6693).

Solution-phase synthesis

- Two indole derivative libraries have been synthesised, generating individual purified compounds in multi-milligram quantities (Nettekoven, *Bioorg. Med. Chem. Lett.*, 2001, *11(16)*, 2169-2171).

Novel resins and linkers

- A new silyl carbamate linker that allows the reverse-direction synthesis of peptides on solid support and the recycling of the polymer support has been developed (Lipshutz and Shin, *Tetrahedron Lett.*, 2001, *42(33)*, 5629-5633).
- Aminomethyl polystyrene resin can be readily prepared in one step by treating Merrifield chloromethyl polystyrene beads with potassium hexamethyldisilylazide (Sampson *et al.*, *Tetrahedron Lett.*, 2001, *42(32)*, 5517-5519).
- A chiral bis(oxazoline) has been grafted onto ArgoGel resin and used for enantioselective palladium-catalysed allylic alkylation (Hallman and Moberg, *Tetrahedron: Asymmetry*, 2001, *12(10)*, 1475-1478).

Library applications

- Solid-phase methodology has been employed in the preparation a library of nucleic-acid based compounds. These compounds have been evaluated as potential anti-hepatitis B virus agents (Jin *et al.*, *Bioorg. Med. Chem. Lett.*, 2001, *11(16)*, 2057-2060).
- Nearly 200 ornithine-derived sulphonamide hydroxamic acids have been prepared on solid-phase support and shown to be potent non-peptide inhibitors of

procollagen C-proteinase (Dankwardt *et al*., *Bioorg. Med. Chem. Lett.*, 2001, *11(16)*, 2085-2088).

- A solid-phase ten-step synthesis of indole-based peptide mimics linked through a secondary amide linker, has been used in generating thrombin receptor (PAR-1) antagonists. (Zhang *et al*., *Bioorg. Med. Chem. Lett.*, 2001, *11(16)*, 2105-2109).
- The design and solid-phase synthesis of a library of potential antagonists of the cell adhesion molecule, VLA-4, has been reported. Novel non-peptidic inhibitors of the binding of fibronectin to the $\alpha 4\beta 1$ integrin have been discovered (Astles *et al*., *Bioorg. Med. Chem.*, 2001, *9(8)*, 2195-2202).